What is claimed is:

1. A compound according to Formula I.

or a pharmaceutically acceptable salt, hydrate, or prodrug thereof, wherein,

B, D, and E are each independently either =N- or = $C(R^2)$ -, provided at least one of B, D, and E is =N-;

at each occurance, each of R^1 , R^2 , and R^3 is independently selected from -H, halogen, trihalomethyl, -CN, -NO₂, -OR⁵, -N(R⁵)OR⁵, -ON(R⁵)R⁵, -N(R⁵)N(R⁵)R⁵, -N(R⁵)R⁵, -S(O)₀₋₂R⁵, -SO₂N(R⁵)R⁵, -CO₂R⁵, -C(O)N(R⁵)R⁵, -N(R⁵)SO₂R⁵, -N(R⁵)C(O)R⁵, -N(R⁵)CO₂R⁵, -C(O)R⁵, -C(=NR⁷)N(R⁵)R⁵, -C(=NR⁷)OR⁵, -N(R⁵)C(=NR⁷)N(R⁵)R⁵, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted aryl, optionally substituted heterocyclyl, optionally substituted heterocyclylalkyl, and optionally substituted arylalkyl;

n is zero to five;

 R^4 is selected from -H, halogen, -CN, -NO₂, -N(R^5)OR⁵, -ON(R^5)R⁵, -N(R^5)N(R^5)R⁵, -OR⁵, -N(R^5)R⁵, -S(O)₀₋₂R⁵, -SO₂N(R^5)R⁵, -CO₂R⁵, -C(O)N(R^5)R⁵, -N(R^5)SO₂R⁵, -N(R^5)C(O)R⁵, -N(R^5)CO₂R⁵, -C(O)R⁵, -C(=NR⁷)N(R^5)R⁵, -C(=NR⁷)R⁵, -C(=NR⁷)OR⁵, -N(R^5)C(=NR⁷)N(R^5)R⁵, optionally substituted lower alkyl, optionally substituted lower alkynyl, optionally substituted heterocyclyl, optionally substituted arylalkyl;

R² and R³, together with the atom or atoms to which they are attached, can combine to form a three- to seven-membered optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted cycloalkyl;

R² and R⁴, together with the atom or atoms to which they are attached, can combine to form a three- to seven-membered optionally substituted heterocyclyl, optionally substituted aryl, or optionally substituted cycloalkyl;

- each R⁵ is independently selected from -H, optionally substituted lower alkyl, optionally substituted aryl, optionally substituted lower arylalkyl, optionally substituted heterocyclyl, optionally substituted lower heterocyclylalkyl, and a single bond to an atom of R¹;
- two of R⁵, together with the atom or respective atoms to which they are attached, can combine to form an optionally substituted three- to seven-membered heterocyclic;
- R⁵ and R⁶, together with the atom or atoms to which they are attached, can combine to form a five- to seven-membered optionally substituted heterocyclyl;
- R⁵ and R⁷, together with the atom or atoms to which they are attached, can combine to form a five- to seven-membered optionally substituted heterocyclyl;
- each of X and Y is independently selected from -C(=O)-, $-C(R^6)R^6$ -, -O-, $-N(R^5)$ -, $-C(=NR^7)$ -, and $-S(O)_{0\cdot 2^-}$; provided when X is -O- or $-N(R^5)$ -, then Y cannot be $-C(H)R^{6a}$ -, where R^{6a} is $-C(R^{20})(R^{21})R^{22}$ wherein at least one of R^{20} , R^{21} and R^{22} is selected from phenyl, napthyl, cyclohexyl, dihydronapthyl tetrahydronapthyl, and a five- to six-membered heteroaryl, each optionally substituted;

or X and Y can combine to form either $-C(R^6)=-C(R^6)$ or -C=-C;

Z is selected from O, S, and a double bond to an atom of R¹;

A is either -N(R⁵)- or a single bond;

each R^6 is independently selected from -H, halogen, trihalomethyl, -CN, -NO₂, -NH₂, -OR⁵, -N(R⁵)R⁵, -S(O)₀₋₂R⁵, -SO₂N(R⁵)R⁵, -CO₂R⁵, -C(O)N(R⁵)R⁵, -N(R⁵)SO₂R⁵, -N(R⁵)C(O)R⁵, -N(R⁵)CO₂R⁵, -C(O)R⁵, optionally substituted lower alkyl, optionally substituted aryl, optionally substituted heterocyclyl, optionally substituted heterocyclylalkyl, optionally substituted arylalkyl, and a single bond to an atom of R² of D or E when said either D or E is =C(R²)-;

two of R^6 , together with the atom or atoms to which they are attached, can combine to form one of an optionally substituted three to seven-membered alicylic, an optionally substituted three to seven-membered heteroalicylic, and a double bond to an atom of R^2 of D or E when said either D or E is $=C(R^2)$ -;

- each R⁷ is independently selected from -H, -CN, -NO₂, -N(R⁵)R⁵, -OR⁵, -S(O)₀₋₂R⁵, -SO₂N(R⁵)R⁵, -CO₂R⁵, optionally substituted lower alkyl, optionally substituted lower alkynyl, and a single bond to a carbon of J; and
- J is selected from an optionally substituted five- to ten-membered aryl and an optionally substituted five- to ten-membered heteroaryl;
- provided the compound is not one of: 2-(2-amino-5-cyano-6-methylsulfanyl-pyrimidin-4ylsulfanyl)-N-(3-trifluoromethyl-phenyl)-acetamide, 2-{[2-amino-5-cyano-6-(methylthio)pyrimidin-4-yl]thio}-N-[3-(butyloxy)phenyl]acetamide, 2-{[2-amino-5-cyano-6-(methylthio)pyrimidin-4-yl]thio}-N-1,3-benzothiazol-2-ylacetamide, 2-{[2-amino-5cyano-6-(methylthio)pyrimidin-4-yl]thio}-N-(5-ethyl-1,3,4-thiadiazol-2-yl)acetamide, 2-{[2-amino-5-cyano-6-(methylthio)pyrimidin-4-yl]thio}-N-(4-methyl-1,3-thiazol-2-2-amino-4-{[2-(3,5-dimethyl-1H-pyrazol-1-yl)-2-oxoethyl]thio}-6yl)acetamide, (methylthio)pyrimidine-5-carbonitrile, 2-{[2-amino-5-cyano-6-(methylthio)pyrimidin-2-(5-cyano-2-methylsulfanyl-pyrimidin-4-4-yl]thio}-N-1,3-thiazol-2-ylacetamide, ylsulfanyl)-N-phenyl-acetamide, 5-amino-2-methylsulfanyl-thieno[2,3-d]pyrimidine-6-carboxylic acid phenylamide, 2-(6-amino-5-cyano-2-methylsulfanyl-pyrimidin-4-4,5-diamino-2-(2-methoxy-ethoxy)-thieno[2,3ylsulfanyl)-N-phenyl-acetamide, d]pyrimidine-6-carboxylic acid 2-(5-cyano-6-phenyl-2phenylamide, phenylcarbamoylmethylsulfanyl-pyrimidin-4-ylsulfanyl)-N-phenyl-acetamide, and a 2-(6-amino-3,5-dicyano-pyridin-2-ylsulfanyl)-N-phenyl-acetamide derivative.
- 2. The compound according to claim 1, wherein J is either a six-membered aryl or a five-to six-membered heteroaryl.
- 3. The compound according to claim 2, wherein D is $=C(R^2)$ -.
- 4. The compound according to claim 3, wherein R^4 is $-N(R^5)R^5$.
- 5. The compound according to claim 4, of Formula Π ,

$$\begin{array}{c|c}
R^{5a} & R^{2a} \\
R^{5b} & Q & (R^1)_n
\end{array}$$
II

wherein, R^1 , R^2 , R^3 , R^5 , n, B, E, X, and Y are as defined above; and Q is either =N- or =C(H)-.

- 6. The compound according to claim 5, wherein R^{2a} is selected from halogen, -CN, -C(=0)N(R^5) R^5 , -CF₃, -CO₂ R^5 , -C(R^5)=C(R^5) R^5 , -C=C- R^5 , and -NO₂;
- 7. The compound according to claim 6, wherein at least one of R^{5a} and R^{5b} is -H.
- 8. The compound according to claim 7, wherein R^3 is selected from $-OR^5$, $-NR^5R^5$, and $-S(O)_{0-2}R^5$.
- 9. The compound according to claim 8, wherein at least one of B and E is =N-.
- 10. The compound according to claim 9, wherein R^1 is selected from halogen, $-OR^5$, $-NR^5R^5$, $-S(O)_{0.2}R^5$, $-NO_2$, perhaloalkyl, optionally substituted lower alkyl, optionally substituted aryl, and optionally substituted arylalkyl.
- 11. The compound according to claim 10, wherein R^1 is selected from halogen, $-OR^5$, $-NR^5R^5$, $-S(O)_{0-1}R^5$, $-NO_2$, perhaloalkyl, and optionally substituted lower alkyl.
- 12. The compound according to claim 11, wherein A is $-N(R^5)$ -.
- 13. The compound according to claim 12, of Formula III,

wherein, R³, R⁵, X, Y, and Q are as defined above; R^{1a} is selected from halogen, lower perfluoroalkyl, -NO₂, -OR⁵, and optionally substituted C₁₋₄alkyl; and R^{1b} is selected from halogen, -OR⁵, -N(R⁵)R⁵, -SR⁵, perfluoroalkyl, and optionally substituted lower alkyl.

- 14. The compound according to claim 13, wherein R^{1a} is selected from -NO₂, halogen, perfluoroalkyl, haloalkyl, optionally substituted C_{1-2} alkyl, and optionally substituted -O- C_{1-2} alkyl.
- 15. The compound according to claim 14, wherein R^3 is selected from optionally substituted -O-C₁₋₄alkyl, -O-C₁₋₄perfluoroalkyl, optionally substituted -N(H)C₁₋₄alkyl, optionally substituted -N(C₁₋₄alkyl)C₁₋₄alkyl, optionally substituted -S(O)₀₋₂-C₁₋₄perfluoroalkyl.
- 16. The compound according to claim 15, wherein Y is either -N(H)- or $-C(R^6)R^6$ -.
- 17. The compound according to claim 16, wherein X is selected from -O-, -N(\mathbb{R}^5)- and -S-.
- 18. The compound according to claim 17, wherein Y is $-C(R^6)R^6$ -; wherein each R^6 is independently selected from -H, halogen, trihalomethyl, -NH₂, optionally substituted -O-C₁₋₄alkyl, optionally substituted -N(H)C₁₋₄alkyl, optionally substituted -S-C₁₋₄alkyl, optionally substituted lower alkyl, optionally substituted heterocyclyl, and optionally substituted heterocyclylalkyl.
- 19. The compound according to claim 18, wherein Y is -C(H)R⁶-; wherein R⁶ is independently selected from -H, halogen, trihalomethyl, -NH₂, optionally substituted -O-C₁₋₄alkyl, optionally substituted -N(H)C₁₋₄alkyl, optionally substituted -S-C₁₋₄alkyl, optionally substituted lower alkyl, optionally substituted heterocyclyl, and optionally substituted heterocyclylalkyl.
- 20. The compound according to claim 19, wherein Q is =C(H)-.
- 21. A compound according to Formula IV,

$$\mathbb{R}^4$$
 \mathbb{N} \mathbb{N}

IV

or a pharmaceutically acceptable salt, hydrate, or prodrug thereof, wherein,

 R^1 is selected from halogen, $-OR^5$, $-N(R^5)R^5$, $-S(O)_{0-2}R^5$, $-NO_2$, $-C(O)R^5$, perhaloalkyl, optionally substituted lower alkyl, optionally substituted aryl, and optionally substituted arylalkyl.

n is zero to five;

- R^2 is selected from halogen, -CN, -C(=0)N(R^5) R^5 , -CF₃, -CO₂ R^5 , -C(R^5)=C(R^5) R^5 , -C≡C- R^5 , and -NO₂;
- R^3 is selected from -H, halogen, trihalomethyl, -CN, -NO₂, -OR⁵, -N(R⁵)OR⁵, -ON(R⁵)R⁵, -N(R⁵)N(R⁵)R⁵, -N(R⁵)R⁵, -S(O)₀₋₂R⁵, -SO₂N(R⁵)R⁵, -CO₂R⁵, -C(O)N(R⁵)R⁵, -N(R⁵)SO₂R⁵, -N(R⁵)C(O)R⁵, -N(R⁵)CO₂R⁵, -C(O)R⁵, -C(=NR⁷)N(R⁵)R⁵, -C(=NR⁷)OR⁵, -N(R⁵)C(=NR⁷)N(R⁵)R⁵, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkyl, optionally substituted aryl, optionally substituted heterocyclyl, optionally substituted heterocyclylalkyl, and optionally substituted arylalkyl;
- R^4 is selected from -CN, halogen, -NO₂, -N(R^5)OR⁵, -ON(R^5)R⁵, -N(R^5)N(R^5)R⁵, -OR⁵, -N(R^5)R⁵, -SO₂N(R^5)R⁵, -C(O)N(R^5)R⁵, -C(=NR⁷)N(R^5)R⁵, -C(=NR⁷)N(R^5)R⁵, -C(=NR⁷)N(R^5)R⁵, optionally substituted lower alkyl, optionally substituted heterocyclyl, and optionally substituted heterocyclylalkyl;
- each R⁵ is independently selected from -H, optionally substituted lower alkyl, optionally substituted aryl, optionally substituted lower arylalkyl, optionally substituted heterocyclyl, and optionally substituted lower heterocyclylalkyl;
- two of R⁵, together with the atom or respective atoms to which they are attached, can combine to form an optionally substituted three- to seven-membered heterocyclic;
- R⁵ and R⁶, together with the atom or atoms to which they are attached, can combine to form a five- to seven-membered optionally substituted heterocyclyl;
- R⁵ and R⁷, together with the atom or atoms to which they are attached, can combine to form a five- to seven-membered optionally substituted heterocyclyl;

each of X and Y is independently selected from -C(=O)-, -C(R⁶)R⁶-, -O-, -N(R⁵)-, -C(=NR⁷)-, and -S(O)₀₋₂-; provided when X is -O- or -N(R⁵)-, then Y cannot be -C(H)R^{6a}-, where R^{6a} is -C(R²⁰)(R²¹)R²² wherein at least one of R²⁰, R²¹ and R²² is selected from phenyl, napthyl, cyclohexyl, dihydronapthyl tetrahydronapthyl, and a five- to six-membered heteroaryl, each optionally substituted;

- or X and Y can combine to form either $-C(R^6)=C(R^6)$ or -C=C-;
- each R⁶ is independently selected from -H, halogen, trihalomethyl, -CN, -NO₂, -NH₂, -OR⁵, -N(R⁵)R⁵, -S(O)₀₋₂R⁵, -SO₂N(R⁵)R⁵, -CO₂R⁵, -C(O)N(R⁵)R⁵, -N(R⁵)SO₂R⁵, -N(R⁵)C(O)R⁵, -N(R⁵)CO₂R⁵, -C(O)R⁵, optionally substituted lower alkyl, optionally substituted aryl, optionally substituted heterocyclyl, optionally substituted heterocyclylalkyl, optionally substituted arylalkyl, and a single bond to an atom of R¹;
- two of R⁶, together with the atom or atoms to which they are attached, can combine to form either an optionally substituted three to seven-membered alicylic or an optionally substituted three to seven-membered heteroalicylic;
- each R⁷ is independently selected from -H, -CN, -NO₂, -N(R⁵)R⁵, -OR⁵, -S(O)₀₋₂R⁵, -SO₂N(R⁵)R⁵, -CO₂R⁵, optionally substituted lower alkyl, optionally substituted lower alkenyl, optionally substituted lower alkynyl, and a single bond to a carbon of J; and
- J is selected from an optionally substituted five- to ten-membered aryl and an optionally substituted five- to ten-membered heteroaryl;
- provided the compound is not one of: 2-(2-amino-5-cyano-6-methylsulfanyl-pyrimidin-4-ylsulfanyl)-N-(3-trifluoromethyl-phenyl)-acetamide, 2-{[2-amino-5-cyano-6-(methyl-thio)pyrimidin-4-yl]thio}-N-[3-(butyloxy)phenyl]acetamide, 2-{[2-amino-5-cyano-6-(methylthio)pyrimidin-4-yl]thio}-N-1,3-benzothiazol-2-ylacetamide, 2-{[2-amino-5-cyano-6-(methylthio)pyrimidin-4-yl]thio}-N-(5-ethyl-1,3,4-thiadiazol-2-yl)acetamide, 2-{[2-amino-5-cyano-6-(methylthio)pyrimidin-4-yl]thio}-N-(4-methyl-1,3-thiazol-2-yl)acetamide, 2-amino-4-{[2-(3,5-dimethyl-1H-pyrazol-1-yl)-2-oxoethyl]thio}-6-(methylthio)pyrimidine-5-carbonitrile, 2-{[2-amino-5-cyano-6-(methylthio)pyrimidin-4-yl]thio}-N-1,3-thiazol-2-ylacetamide, 2-(5-cyano-2-methylsulfanyl-pyrimidin-4-ylsulfanyl)-N-phenyl-acetamide, 5-amino-2-methylsulfanyl-thieno[2,3-d]pyrimidine-

6-carboxylic acid phenylamide, 2-(6-amino-5-cyano-2-methylsulfanyl-pyrimidin-4-ylsulfanyl)-N-phenyl-acetamide, 4,5-diamino-2-(2-methoxy-ethoxy)-thieno[2,3-d]pyrimidine-6-carboxylic acid phenylamide, 2-(5-cyano-6-phenyl-2-phenylcarbamoylmethylsulfanyl-pyrimidin-4-ylsulfanyl)-N-phenyl-acetamide, and a 2-(6-amino-3,5-dicyano-pyridin-2-ylsulfanyl)-N-phenyl-acetamide derivative.

- 22. The compound according to claim 21, wherein R⁴ is -NR^{5a}R^{5b}; wherein at least one of R^{5a} and R^{5b} is -H.
- 23. The compound according to claim 22, wherein X is selected from -O-, -N(\mathbb{R}^5)-, and -S(O)₀₋₂-.
- 24. The compound according to claim 23, wherein Y is either $-C(R^6)R^6$ or $-N(R^5)$.
- 25. The compound according to claim 24, wherein J is either phenyl or pyridyl.
- 26. The compound according to claim 25, wherein R^4 is -NH₂.
- 27. The compound according to claim 26, wherein at least one of R^1 is selected from halo, -NO₂, -OR⁵, perfluoroalkyl, haloalkyl, and optionally substituted C_{1-4} alkyl.
- 28. The compound according to claim 27, of Formula V,

wherein R^1 , R^3 , X, and Y are as defined above; and Q is either =N- or =C(H)-.

- 29. The compound according to claim 28, wherein R^{1a} is selected from halo, lower perfluoroalkyl, -NO₂, optionally substituted -O-C₁₋₄alkyl, and optionally substituted C₁₋₄alkyl.
- 30. The compound according to claim 29, wherein R^3 is selected from optionally substituted -O-C₁₋₄alkyl, -O-C₁₋₄perfluoroalkyl, optionally substituted -N(H)C₁₋₄alkyl, optionally substituted -N(C₁₋₄alkyl)C₁₋₄alkyl, optionally substituted -S(O)₀₋₂-C₁₋₄alkyl, and optionally substituted -S(O)₀₋₂-C₁₋₄perfluoroalkyl.

31. The compound according to claim 30, wherein Y is $-C(R^6)R^6$ -; wherein each R^6 is independently selected from -H, halogen, trihalomethyl, -NH₂, optionally substituted -O-C₁₋₄alkyl, optionally substituted -N(H)C₁₋₄alkyl, optionally substituted -S-C₁₋₄alkyl, optionally substituted lower alkyl, optionally substituted heterocyclyl, and optionally substituted heterocyclylalkyl.

- 32. The compound according to claim 31, wherein Y is -C(H)R⁶-; wherein R⁶ is independently selected from -H, halogen, trihalomethyl, -NH₂, optionally substituted -O-C₁₋₄alkyl, optionally substituted -N(H)C₁₋₄alkyl, optionally substituted -S-C₁₋₄alkyl, optionally substituted lower alkyl, optionally substituted heterocyclyl, and optionally substituted heterocyclylalkyl.
- 33. The compound according to claim 32, wherein Q is =C(H)-.
- 34. The compound according to claim 1, selected from Table 3.

Table 3

Entry	Name	Structure
.1	2-[(3-cyano-4,6-dimethyl-5- nitropyridin-2-yl)oxy]-N-[3- (trifluoromethyl)phenyl]acetamide	O_2N CN H CF_3
2	N-2-(2-amino-6-chloropyrimidin-4-yl)-N-[3- (trifluoromethyl)phenyl]glycinamide	CI N N CF3
3	[2-amino-6-(methylthio)pyrimidin-4- yl]methyl [3- (trifluoromethyl)phenyl]carbamate	H ₂ N N CF ₃

Table 3

Entry	Name	Structure
4	2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- [5-(trifluoromethyl)pyridin-2- yl]acetamide	H ₂ N N S H N CF ₃
5	N-2-[2-amino-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]glycinamide	H ₂ N N N N CF ₃
6	2-{[2-amino-6-(methylthio)pyrimidin- 4-yl]oxy}-N-[3- (trifluoromethyl)phenyl]acetamide	S N N O N F F
7	2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- [3-(methyloxy)phenyl]acetamide	H ₂ N N S H
8	N-2-(2-amino-6-morpholin-4- ylpyrimidin-4-yl)-N-[3- (trifluoromethyl)phenyl]glycinamide	H ₂ N N N N CF ₃
9	2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- (4-chlorophenyl)acetamide	H ₂ N N S H

Table 3

Entry	Name	Structure
10	2-{[2-amino-6-(1H-1,2,3-benzotriazol-1-yloxy)pyrimidin-4-yl]thio}-N-[3-(trifluoromethyl)phenyl]acetamide	NH ₂ NH ₂ NH ₂ N CF ₃
11	2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- (3-chlorophenyl)acetamide	H ₂ N N S H CI
12	N-2-(2-amino-6-chloro-5- formylpyrimidin-4-yl)-N-[3- (trifluoromethyl)phenyl]glycinamide	CI CHO N N N N N N O CF ₃
13	N-2-[2-amino-5-formyl-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]glycinamide	CHO H ₂ N N N CF ₃
14	2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]oxy}-N- [3-(trifluoromethyl)phenyl]acetamide	H ₂ N N O H F F
15	2-[(2-amino-6-chloropyrimidin-4- yl)thio]-N-[3- (trifluoromethyl)phenyl]acetamide	CI S NH2 F F

Table 3

Entry	Name	Structure
16	2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- methyl-N-[3- (trifluoromethyl)phenyl]acetamide	H ₂ N N S N CF ₃
17	N-2-[4-amino-6-(methylthio)-1,3,5- triazin-2-yl]-N-[3- (trifluoromethyl)phenyl]glycinamide	S N N T N CF3
18	N-2-[4-(dimethylamino)-6- (methylthio)-1,3,5-triazin-2-yl]-N-[3- (trifluoromethyl)phenyl]glycinamide	S Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z Z
19	N-2-[4-(methylamino)-6- (methylthio)-1,3,5-triazin-2-yl]-N-[3- (trifluoromethyl)phenyl]glycinamide	DE STEP STEP STEP STEP STEP STEP STEP STE
20	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]glycinamide	CN N CN N CF3 CF3
21	'2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- [3-(trifluoromethyl)phenyl]acetamide	NH ₂

Table 3

Entry	Name	Structure
22	'2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- [3-(butyloxy)phenyl]acetamide	NH ₂ N N N N N N N N N N N N N N N N N N N
23	'2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- 1,3-benzothiazol-2-ylacetamide	H ₂ N N S HN N
24	'2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- (5-ethyl-1,3,4-thiadiazol-2- yl)acetamide	S NH NH ₂
25	'2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- (4-methyl-1,3-thiazol-2-yl)acetamide	NH ₂ NH ₂ S NH ₂ S N N N N N N N N N N N N N N N N N N
26	'2-amino-4-{[2-(3,5-dimethyl-1H-pyrazol-1-yl)-2-oxoethyl]thio}-6-(methylthio)pyrimidine-5-carbonitrile	N N N N N N N N N N N N N N N N N N N
27	'2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- 1,3-thiazol-2-ylacetamide	NH ₂ NH ₂ N N N N N N N N N N N N N N N N N N N
28	ethyl 5-[({[2-amino-5-cyano-6- (methylthio)pyrimidin-4- yl]thio}acetyl)amino]-4-cyano-3- methylthiophene-2-carboxylate	H ₂ N N S NC

Table 3

Entry	Name	Structure
29	2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- pyridin-2-ylacetamide	H ₂ N S O
30	2-amino-4-({2-[2,5- bis(methyloxy)phenyl]-2- oxoethyl}thio)-6- (methylthio)pyrimidine-5-carbonitrile	H ₂ N N S O
31	2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- [4-fluoro-3- (trifluoromethyl)phenyl]acetamide	H ₂ N N S CN H CF ₃
32	2-[(2,6-diaminopyrimidin-4-yl)thio]- N-[4-fluoro-3- (trifluoromethyl)phenyl]acetamide	H_2N H_2N N S O F CF_3 F
33	2-[(2,6-diaminopyrimidin-4-yl)thio]- N-[3- (trifluoromethyl)phenyl]acetamide	H_2N N S O CF_3
34	2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- [4-chloro-3- (trifluoromethyl)phenyl]acetamide	H ₂ N N S H CF ₃

Table 3

Entry	Name	Structure
35	2-amino-4-(methylthio)-6-({2-oxo-1-[3-(trifluoromethyl)phenyl]pyrrolidin-3-yl}thio)pyrimidine-5-carbonitrile	H ₂ N N S CF ₃
36	2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- [6-(trifluoromethyl)pyridin-2- yl]acetamide	H ₂ N N CF ₃
37	2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- [4-(trifluoromethyl)pyridin-2- yl]acetamide	H ₂ N N S CF ₃
38	{6-(methylthio)-2- [(phenylmethyl)amino]pyrimidin-4- yl}methyl [3- (trifluoromethyl)phenyl]carbamate	S CF3
39	[6-(methylamino)-2- (methylthio)pyrimidin-4-yl]methyl [3- (trifluoromethyl)phenyl]carbamate	S N CF3
40	{2-(methylthio)-6- [(phenylmethyl)amino]pyrimidin-4- yl}methyl [3- (trifluoromethyl)phenyl]carbamate	S N O H CF3

Table 3

Entry	Name	Structure
41	2-{[2-(acetylamino)-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- [3-(trifluoromethyl)phenyl]acetamide	S N H F F
42	(2S)-2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]oxy}-N- [3- (trifluoromethyl)phenyl]propanamide	-s N F F F F F F F F F F F F F F F F F F
43	2-[(2-amino-6-chloro-5- formylpyrimidin-4-yl)thio]-N-[3- (trifluoromethyl)phenyl]acetamide	NH ₂ N N N F F
44	N-2-[2-amino-5-(hydroxymethyl)-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]glycinamide	NH₂ NNNN NNNN SNNNNNNNNNNNNNNNNNNNNNNNNN
45	2-{[2-amino-5-formyl-6- (methylamino)pyrimidin-4-yl]thio}- N-[3- (trifluoromethyl)phenyl]acetamide	PH PF F
46	2-{[2-amino-5-formyl-6- (methylthio)pyrimidin-4-yl]oxy}-N- [3-(trifluoromethyl)phenyl]acetamide	NH2 NH2 NH2 NH2 NH2 NH2 NH2 NH2 NH2 NH2

Table 3

Entry	Name	Structure
47	2-{[4-amino-6-(methylthio)-1,3,5- triazin-2-yl]oxy}-N-[3- (trifluoromethyl)phenyl]acetamide	H ₂ N N O H F F
48	2-{[2-amino-6-(methylthio)pyrimidin- 4-yl]thio}-N-[3- (trifluoromethyl)phenyl]acetamide	S S N S N S F F F
49	2-amino-4-(methylthio)-6-{[2-oxo-2- (3-oxo-3,4-dihydro-2H-1,4- benzoxazin-6- yl)ethyl]thio}pyrimidine-5- carbonitrile	H ₂ N N S O H
50	2-[(2-amino-6-chloro-5- formylpyrimidin-4-yl)oxy]-N-[3- (trifluoromethyl)phenyl]acetamide	CI NH2
. 51	2-{[2-amino-5-formyl-6- (phenylthio)pyrimidin-4-yl]thio}-N- [3-(trifluoromethyl)phenyl]acetamide	S S S S S S S S S S S S S S S S S S S
52	2-{[2-amino-5-(hydroxymethyl)-6-(phenylthio)pyrimidin-4-yl]thio}-N-[3-(trifluoromethyl)phenyl]acetamide	S S N N F F F F F F F F F F F F F F F F
53	2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- [2-methyl-3- (trifluoromethyl)phenyl]acetamide	H ₂ N N S N F F

Table 3

Entry	Name	Structure
54	2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- [2-(methyloxy)-5- (trifluoromethyl)phenyl]acetamide	H ₂ N N S CF ₃
55	2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- [2-chloro-5- (trifluoromethyl)phenyl]acetamide	H ₂ N N S N H CI
56	2-{[2-amino-5-(hydroxymethyl)-6-(methylthio)pyrimidin-4-yl]oxy}-N-[3-(trifluoromethyl)phenyl]acetamide	NH ₂ NH ₂ NH ₂ NH ₂ NH ₂ F
57	N-2-(6-amino-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-N-[3-(trifluoromethyl)phenyl]glycinamide	NH ₂
58	N-2-[2-amino-5-[(E)- hydrazonomethyl]-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]glycinamide	S NH ₂
59	N-2-[2-amino-5-[(E)- (hydroxyimino)methyl]-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]glycinamide	NH ₂ NH ₂ NH ₂ NH ₂ NH ₃ NH ₄

Table 3

Entry	Name	Structure
60	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L- alaninamide	S CN P F
61	2-{[2-amino-5-cyano-6- (methylamino)pyrimidin-4-yl]thio}- N-[3- (trifluoromethyl)phenyl]acetamide	H ₂ N N S H F F
62	2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- [2-amino-5- (trifluoromethyl)phenyl]acetamide	H ₂ N N S N N NH ₂
63	2-amino-4-(methylthio)-6-({[6- (trifluoromethyl)-1H-benzimidazol-2- yl]methyl}thio)pyrimidine-5- carbonitrile	H ₂ N N N N N F F
64	2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]hydrazinecar boxamide	H ₂ N N H N F F
65	N-2-[5-cyano-2-(methylamino)-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]glycinamide	NH N

Table 3

Entry	Name	Structure
66	2-{[2-amino-5-cyano-6- (dimethylamino)pyrimidin-4-yl]thio}- N-[3- (trifluoromethyl)phenyl]acetamide	H ₂ N N S H F F
67	(S)-1-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]prolinamide	H ₂ N N N F F
68	(2R)-2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]oxy}-N- [3- (trifluoromethyl)phenyl]propanamide	$-s \longrightarrow N \longrightarrow F \longrightarrow F$ $H_2N \longrightarrow O \longrightarrow HN \longrightarrow F$
69	1-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]oxy}-N- [3- (trifluoromethyl)phenyl]cyclopropane carboxamide	-S N
70	(2S)-2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]oxy}-3- methyl-N-[3- (trifluoromethyl)phenyl]butanamide	H ₂ N O HN F F
71	N-2-[5-cyano-2-(dimethylamino)-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]glycinamide	S H F F

Table 3

Entry	Name	Structure
72	N-2-{2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl}-N-2- methyl-N-{3- (trifluoromethyl)phenyl]glycinamide	H ₂ N N N N F F
73	1-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]amino}- N-[3- (trifluoromethyl)phenyl]cyclopropane carboxamide	S H ₂ N F F
74	N-2-[2-amino-5-cyano-6- (methylsulfinyl)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L- alaninamide	S=O N N N N N N N N N H
75	N-2-[2-amino-5-cyano-6- (methylsulfonyl)pyrimidin-4-yl]-N- [3-(trifluoromethyl)phenyl]-L- alaninamide	O=S-V
76	N-2-(5-cyano-2-morpholin-4- ylpyrimidin-4-yl)-N-[3- (trifluoromethyl)phenyl]glycinamide	N N N H O F F
77	2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]thio}-N- [3,5- bis(trifluoromethyl)phenyl]acetamide	H ₂ N N S N CF ₃

Table 3

Entry	Name	Structure
78	N-2-[2-amino-5-cyano-6- (methyloxy)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L- alaninamide	H ₂ N N H CF ₃
79	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[2- (methyloxy)-5- (trifluoromethyl)phenyl]-L- alaninamide	H ₂ N N N CF ₃
80	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[2- chloro-5-(trifluoromethyl)phenyl]-L- alaninamide	H ₂ N N N H CF ₃
81	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-2- methyl-N-[3- (trifluoromethyl)phenyl]alaninamide	H ₂ N N H CF ₃
82	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-{3-[(4- methylpiperazin-1- yl)carbonyl]phenyl}-L-alaninamide	S CN H N N H O
83	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-D- alaninamide	H ₂ N N N CF ₃

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Table 3

Entry	Name	Structure
84	2-[(2-amino-5-cyano-6-morpholin-4- ylpyrimidin-4-yl)thio]-N-[3- (trifluoromethyl)phenyl]acetamide	NH ₂ N S H F F
85	(R)-1-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]prolinamide	H ₂ N N N F F
86	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L- ornithinamide	S CN H ₂ CF ₃
87	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-2-[2- (dimethylamino)ethyl]-N-[3- (trifluoromethyl)phenyl]glycinamide	CN H ₂ N N N N N N N N N N N N N N N N N N N
88	N-2-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L- alaninamide	CF ₃
89	N-2-(2,6-diamino-5-cyanopyrimidin- 4-yl)-N-[3-(trifluoromethyl)phenyl]- L-alaninamide	H_2N N N N N N N N N N

Table 3

Entry	Name	Structure
90	N-2-(2-amino-5-cyanopyrimidin-4- yl)-N-[3-(trifluoromethyl)phenyl]-L- alaninamide	H ₂ N N N H CF ₃
91	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-2- methyl-N-[3- (trifluoromethyl)phenyl]-L- alaninamide	H ₂ N N N CF ₃
92	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-(3-{[2- (diethylamino)ethyl]oxy}phenyl)-L- alaninamide	H ₂ N N N N N N N N N N N N N N N N N N N
93	2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-1,2- dimethyl-N-[3- (trifluoromethyl)phenyl]hydrazinecar boxamide	H ₂ N N N N CF ₃
94	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[3- amino-5-(trifluoromethyl)phenyl]-L- alaninamide	H ₂ N N N N CF ₃ NH ₂
95	ethyl [1-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-2-({[3- (trifluoromethyl)phenyl]amino}carbo nyl)hydrazino]acetate	S CN H ₂ N N N H H EtO ₂ C O

Table 3

Entry	Name	Structure
96	2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-2- methyl-N-[3- (trifluoromethyl)phenyl]hydrazinecar boxamide	S CN H N CF3
97	3,5-diamino-4,6-dimethyl-N-[3- (trifluoromethyl)phenyl]furo[2,3- b]pyridine-2-carboxamide	H ₂ N NH ₂ CF ₃
98	3-amino-4,6-dimethyl-5-nitro-N-[3- (trifluoromethyl)phenyl]furo[2,3- b]pyridine-2-carboxamide	O_2N NH_2 H CF_3
99	N-2-(2-amíno-5-cyano-6- hydroxypyrimidin-4-yl)-N-[3- (trifluoromethyl)phenyl]-L-alaninamide	OH CN H CF3
100	N-2-[5-cyano-2-(methylthio)pyrimidin-4- yl]-N-[3- (trifluoromethyl)phenyl]glycinamide	S N H CF3
101	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-2- (tetrahydro-2H-pyran-4-ylmethyl)-N-[3- (trifluoromethyl)phenyl]glycinamide	H ₂ N N N CF ₃

Table 3

Entry	Name	Structure
102	N-2-(2-amino-5-cyano-6-{[2- (dimethylamino)ethyl]oxy}pyrimidin-4-yl)- N-[3-(trifluoromethyl)phenyl]-L- alaninamide	H_2N N N N N N N N N N
103	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-6-{[(1,1- dimethylethyl)oxy]carbonyl}-N-[3- (trifluoromethyl)phenyl]-L-lysinamide	NH ₂ ON NH t-Bu OON NH CN
104	2-amino-4-(methylthio)-6-(methyl{(1S)-1- [6-(trifluoromethyl)-1H-benzimidazol-2- yl]ethyl}amino)pyrimidine-5-carbonitrile	NC NH2 CF3
105	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-2-[2- (tetrahydro-2H-pyran-4-yl)ethyl]-N-[3- (trifluoromethyl)phenyl]glycinamide	NH ₂ N N N N N CF ₃ CF ₃
106	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[3-{[2- (diethylamino)ethyl]amino)-5- (trifluoromethyl)phenyl]-L-alaninamide	NH ₂ NH NH NH CN NH CF ₃

Table 3

Entry	Name	Structure
107	2-amino-4-(methylthio)-6-({(1S)-1-[6- (trifluoromethyl)-1H-benzimidazol-2- yl]ethyl}amino)pyrimidine-5-carbonitrile	$-s \longrightarrow N \longrightarrow N \longrightarrow F \longrightarrow F$ H_2N
108	2-{2-amino-5-cyano-6-[1-(3- trifluoromethyl-phenylcarbamoyl)-1S- ethylamino]-pyrimidin-4-ylamino}-N-(3- trifluoromethyl-phenyl)-2S-propionamide	HN CF ₃ CF ₃ H ₂ N N N CF ₃
109	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-2-methyl-N- (3-methylphenyl)glycinamide	NH ₂ N N N N N N N N N N N N N N N N N N N
110	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-2-methyl-N- [3-(1-methylethyl)phenyl]glycinamide	NH ₂
111	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-5- [imino(nitroamino)methyl]-N-[3- (trifluoromethyl)phenyl]-L-ornithinamide	NH ₂ NH ₂ NH ₂ NH ₂ NH ₂ NH ₂ CF ₃
112	methyl 3-({N-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-L- alanyl}amino)-5-(trifluoromethyl)benzoate	S NH ₂ NH ₂ CF ₃ CO ₂ CH ₃

Table 3

Entry	Name	Structure
113	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-(3- nitrophenyl)-L-alaninamide	S NH2 N N N N NO2 NO2
114	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-lysinamide	NH ₂ NH ₂ NH ₂ NH ₂ CF ₃
115	N-2-[2-amino-5-cyano-6- (propyloxy)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-alaninamide	NH ₂ N N H N CF ₃
116	N-2-[5-cyano-2-{[2- (methyloxy)ethyl]amino}-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-alaninamide	HN O H CF3
117	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-argininamide	NH ₂ NH ₂ NH NH NH CF ₃
118	N-2-[2-amino-5-cyano-6- (methylsulfinyl)pyrimidin-4-yl]-N-2-methyl- N-[3-(trifluoromethyl)phenyl]-L- alaninamide	NH ₂ NH ₂ NH ₂ CF ₃

Table 3

Entry	Name	Structure
119	N-2-[2-amino-5-cyano-6- (methyloxy)pyrimidin-4-yl]-N-2-methyl-N- [3-(trifluoromethyl)phenyl]-L-alaninamide	NH ₂ N N CF ₃
120	N-2-[2-amino-5-cyano-6- (propyloxy)pyrimidin-4-yl]-N-2-methyl-N- [3-(trifluoromethyl)phenyl]-L-alaninamide	NH ₂ N N CF ₃
121	N-2-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-N-2-methyl-N-[3- (trifluoromethyl)phenyl]-L-alaninamide	NH ₂ N H CF ₃
122	N-2-{2-amino-5-cyano-6-[(1- methylethyl)oxy]pyrimidin-4-yl}-N-[3- (trifluoromethyl)phenyl]-L-alaninamide	NH ₂ N N N N CF ₃ CF ₃
123	N-5-acetyl-N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-omithinamide	NH ₂ NH ₂ NH ₂ NH ₂ NH ₂ CF ₃
124	N-2-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-(3- aminophenyl)-L-alaninamide	S NH ₂ NH ₂ NH ₂ NH ₂ NH ₂

Table 3

Entry	Name	Structure
125	3-({N-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-L- alanyl}amino)-N-[2-(dimethylamino)ethyl]- 5-(trifluoromethyl)benzamide	S NH2 NH NH NH CF3
126	2-(methyloxy)ethyl ((4S)-4-{[2-amino-5- cyano-6-(methylthio)pyrimidin-4- yl]amino}-5-oxo-5-{[3- (trifluoromethyl)phenyl]amino}pentyl)carb amate	NH ₂ NH ₂ NH ₂ NH ₂ CF ₃
127	2-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]hydrazinecarboxa mide	NH ₂ N H H CF ₃ CF ₃
128	1,1-dimethylethyl ((4S)-4-{[2-amino-5- cyano-6-(ethyloxy)pyrimidin-4-yl]amino}- 5-oxo-5-{[3- (trifluoromethyl)phenyl]amino}pentyl)carb amate	NH ₂ CF ₃
129	N-2-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-ornithinamide	NH ₂ NH ₂ NH ₂ CF ₃

Table 3

Entry	Name	Structure
130	3-({N-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-methyl-L- alanyl}amino)-N-[2- (dimethylamino)ethyl]-5- (trifluoromethyl)benzamide	S.CH ₃ N CH ₃ H CH ₃ O CH ₃ O CH ₃ O CH ₃ O CH ₃ O
131	3-({N-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-methyl-L- alanyl}amino)-N-[3-(4-methylpiperazin-1- yl)propyl]-5-(trifluoromethyl)benzamide	Chiral Ch
132	N~2~-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N~2~-methyl- N-{3-[(trifluoromethyl)oxy]phenyl}-L- alaninamide	S·CH ₃ N CH ₃ H CH ₃ O F CH ₃ O F
133	N~2~-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-(3- bromophenyl)-N~2~-methyl-L- alaninamide	H ₃ C, S CNCH ₃ H H ₂ N N N N Br CH ₃ O

Table 3

	Table 3		
Entry	Name	Structure	
134	N~2~-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-{2-{[2- (dimethylamino)ethyl]oxy}-5- [(trifluoromethyl)oxy]phenyl}-N~2~- methyl-L-alaninamide	H ₂ N N CH ₃ H F F H ₃ C-N-CH ₃	
135	3-({N-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-methyl-L- alanyl}amino)-N-(2-morpholin-4-ylethyl)- 5-(trifluoromethyl)benzamide	SCH ₃ Chiral N CH ₃ H CH ₃ O CH ₃ O HN O	
136	N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-N~2~-methyl-N- [3-(trifluoromethyl)phenyl]-L-lysinamide	CH ₃ NH ₂ Chiral N H ₂ N N N F F CH ₃ O	
137	N~2~-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[3-{[2- (dimethylamino)ethyl]oxy}-5- (trifluoromethyl)phenyl]-L-alaninamide	H ₂ N N H O F F O N CH ₃ CH ₃ CH ₃ CH ₃ CH ₃	

Table 3

Entry	Name	Structure
138	(2S)-2-{[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]amino}-3-oxo- 3-{[3-(trifluoromethyl)phenyl]amino}propyl acetate	H ₃ C. S O CH ₃ Chiral CN O H CF ₃
139	N~2~-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N~1~-[3- (trifluoromethyl)phenyl]-L-glutamamide	H ₃ C·s H ₂ N O Chiral H ₂ N N H CF ₃
140	2-[2-amino-5-cyano-6- (methyloxy)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]hydrazinecarboxa mide	H ₂ C·ON H H F F F
141	3-({N-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-L- alanyl}amino)-N-hydroxy-5- (trifluoromethyl)benzamide	S-CH ₃ N CH ₃ H CF ₃ HN O OH
142	N~2~-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-3- (dimethylamino)-N-[3- (trifluoromethyl)phenyl]-L-alaninamide	H ₃ C-S Chiral Chiral H ₂ N N N F F H ₂ N N N F F

Table 3

Entry	Name	Structure
· 143	N~2~-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-5-morpholin-4- yl-N-[3-(trifluoromethyl)phenyl]-L- norvalinamide	H ₃ C·S N H ₂ N N H N H N N N N N N N N N N N N N N N
144	N~2~-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N~5~-[2- (methyloxy)ethyl]-N-[3- (trifluoromethyl)phenyl]-L-ornithinamide	S.CH ₃ Chiral NH NH CF ₃
145	3-({N-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-L- alanyl}amino)-5-(trifluoromethyl)benzoic acid	SCH ₃ N CH ₃ H CF ₃ HOOO
146	methyl N~2~-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-alpha- glutaminate	H ₃ C·S·H ₃ C·OOO Chiral H ₂ N N N CF ₃
147	N~5~-(aminocarbonyl)-N~2~-[2-amino-5- cyano-6-(methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-ornithinamide	O NH ₂ Chiral NH NH NH NH NH FF

Table 3

Entry	Name	Structure
148	N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-ornithinamide	CH ₃ Chiral NH ₂ H ₂ N N N N F F
149	N~2~-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-alpha-glutamine	H ₃ C· _S HO O Chiral H ₂ N N N CF ₃
150	N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-serinamide	CH ₃ CN OH H ₂ N N N CF ₃
151	N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-O- (phenylmethyl)-N-[3- (trifluoromethyl)phenyl]-L-serinamide	CH ₃ Chiral Chiral Chiral Chiral
152	N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-argininamide	CH ₃ H ₂ N NH Chiral NH NH Chiral NH CF ₃

Table 3

Entry	Name	Structure
153	N~2~-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N~2~-methyl- N~6~-{[(phenylmethyl)oxy]carbonyl}-N-[3- (trifluoromethyl)phenyl]-L-lysinamide	Chiral H ₃ C S N N N CH ₃ O F F F F
154	Nalpha-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-tyrosinamide	H ₃ C O CN H Chiral
155	N~5~-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-omithinamide	H ₂ C S HN HN O NH ₂
156	N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-y[]-N~6~,N~6~- dimethyl-N-[3-(trifluoromethyl)phenyl]-L- lysinamide	CH ₃ H ₃ C.N.CH ₃ Chiral

Table 3

Entry	Name	Structure
157	N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-N-[3-[(4- methylpiperazin-1-yl)carbonyl]-5- (trifluoromethyl)phenyl]-L-alaninamide	CH ₃ Chiral H ₂ N N N CF ₃ H ₃ C N O
158	3-({N-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-L-alanyl}amino)- N-(3-pyrrolidin-1-ylpropyl)-5- (trifluoromethyl)benzamide	CH ₃ Chiral N CH ₃ H CF ₃ HN O
159	3-({N-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-L-alanyl}amino)- N-(2-morpholin-4-ylethyl)-5- (trifluoromethyl)benzamide	CH ₃ Chiral N CH ₃ H CF ₃ HN O

Table 3

Entry	Name	Structure
160	3-({N-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-L-alanyl}amino)- N-[2-(dimethylamino)ethyl]-5- (trifluoromethyl)benzamide	CH ₃ Chiral N CH ₃ H CF ₃ HN O H ₂ C-N-CH ₃
161	3-({N-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-L-alanyl}amino)- N-[3-(4-methylpiperazin-1-yl)propyl]-5- (trifluoromethyl)benzamide	CH ₃ Chiral N CH ₃ H CF ₃ H ₂ N N N CF ₃ HN O H ₃ C N N N N N N N N N N N N N
162	N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-N~2~-methyl- N~6~-{[(phenylmethyl)oxy]carbonyl}-N-[3- (trifluoromethyl)phenyl]-L-lysinamide	CH ₃ HN O CH ₃ HN O F F CH ₃ O F F F

Table 3

Entry	Name	Structure
163	1,1-dimethylethyl ((4S)-4-{[2-amino-5- cyano-6-(ethyloxy)pyrimidin-4-yl]amino}- 5-oxo-5-{[3- (trifluoromethyl)phenyl]amino}pentyl)carb amate	H ₃ C CH ₃ Chiral H ₃ C CH ₃ Chiral H ₃ C CH ₃ F NH H ₂ N N H F F
164	(2S)-2-{[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]amino}-3-oxo-3- {[3-(trifluoromethyl)phenyl]amino}propyl acetate	CH ₃ Chiral Chiral Chiral Chiral Chiral
165	phenylmethyl N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-N-[3- (trifiuoromethyl)phenyl]-L-alpha- glutaminate	Chiral CH ₃ O O CN H ₂ N CF ₃ CF ₃
166	N~2~,N~5~-diacetyl-N~2~-[2- (acetylamino)-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-ornithinamide	O CH ₃ Chiral O CH ₃ Chiral O CH ₃ Chiral O CH ₃ Chiral
167	2-(methyloxy)ethyl ((4S)-4-{[2-amino-5- cyano-6-(methylthio)pyrimidin-4- yl]amino}-5-oxo-5-{[3- (trifluoromethyl)phenyl]amino}pentyl)carb amate	O O O O O CH ₃ Chiral NH NH CF ₃

Table 3

Entry	Name	Structure
, 168	N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl- N-[3-(trifluoromethyl)phenyl]-L- norvalinamide	H ₂ N N N N N N N N N N N N N N N N N N N
169	N-((4S)-4-{[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]amino}-5-oxo-5- {[3-(trifluoromethyl)phenyl]amino}pentyl)- N,N-dimethylmethanaminium	CH ₃ CH ₃ Chiral O N CH ₃ N CH ₃ P F F F F F
170	Methyl N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-alpha- glutaminate	CH ₃ Chiral OH ₃ C.O OH ₃ CN H ₂ N N Chiral
171	N~2~-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N~6~,N~6~- dimethyl-N-[3-(trifluoromethyl)phenyl]-L- lysinamide	H ₃ C. _N ·CH ₃ Chiral S·CH ₃ H ₂ N N N F F
172	N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-N~2~-methyl-N- {3-[(trifluoromethyl)oxy]phenyl}-L- alaninamide	CH ₃ Chiral N CH ₃ H CH ₃ H CH ₃ O F F

Table 3

Entry	Name	Structure
173	N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-alpha-glutamine	CH ₃ HO O Chiral
174	N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-N-(3- bromophenyl)-N~2~-methyl-L- alaninamide	CH ₃ Chiral CH ₃ Pr CH ₃ O CH ₃ O CH ₃ O CH ₃ O
175	N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-N~1~-[3- (trifluoromethyl)phenyl]-L-glutamamide	CH ₃ H ₂ N O Chiral H ₂ N N N CF ₃
176	N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-3- (dimethylamino)-N-[3- (trifluoromethyl)phenyl]-L-alaninamide	CH ₃ Chiral Chiral Chiral Chiral
177	Structure possibly contains amino acid derivative which is not supported in current version!	CH ₃ ONH ₂ Chiral

Table 3

Entry	Name	Structure
178	N~2~-[2-amino-5-cyano-6- (methyloxy)pyrimidin-4-yl]-3- (dimethylamino)-N-[3- (trifluoromethyl)phenyl]-L-alaninamide	H ₃ C. O Chiral Chiral H ₂ N N N F F H O
179	N~2~-[2-amino-5-cyano-6- (methyloxy)pyrimidin-4-yl]-N-[3-{[2- (dimethylamino)ethyl]oxy}-5- (trifluoromethyl)phenyl]-L-alaninamide	Chiral Chiral Chiral Chiral Chiral Chiral Chiral Chiral
180	N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-N-[3-{[2- (dimethylamino)ethyl]oxy}-5- (trifluoromethyl)phenyl]-L-alaninamide	Chiral Chiral Chiral Chiral Chiral Chiral
181	N~2~-[2-amino-5-cyano-6- (methyloxy)pyrimidin-4-yl]-N-(3- bromophenyl)-N~2~-methyl-L- alaninamide	H ₃ C. O Chlral H ₂ N N N N Br CH ₃ O
182	phenylmethyl N~2~-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-alpha- glutaminate	H ₃ C·S O O H CF ₃

Table 3

Entry	Name	Structure
183	N~2~-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-O- (phenylmethyl)-N-[3- (trifluoromethyl)phenyl]-L-serinamide	H ₃ C·S CN O H ₂ N N CF ₃
184	N~2~-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N~5~,N~5~- bis[2-(methyloxy)ethyl]-N-[3- (trifluoromethyl)phenyl]-L-ornithinamide	S.CH ₃ Chiral NO.CH ₃ Chiral NO.CH ₃ Chiral
185	1,1-dimethylethyl ((4S)-4-{[2-amino-5- cyano-6-(methyloxy)pyrimidin-4- yl]amino}-5-oxo-5-{[3- (trifluoromethyl)phenyl]amino}pentyl)carb amate	H ₂ N N N CF ₃
186	N~5~-acetyl-N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-ornithinamide	CH ₃ O CH ₃ Chiral NH NH NH CF ₃
187	N~5~-acetyl-N~2~-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-ornithinamide	O CH ₃ Chiral O CH ₃ Chiral NH H ₂ N N N CF ₃

Table 3

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Entry	Name	Structure
188	N~2~-[2-amino-5-cyano-6- (methyloxy)pyrimidin-4-yl]-N~2~-methyl- N-{3-[(trifluoromethyl)oxy]phenyl}-L- alaninamide	H ₂ N N CH ₃ H OCF ₃
189	methyl 3-({N-[2-amino-5-cyano-6- (methyloxy)pyrimidin-4-yl]-L- alanyl}amino)-5-(trifluoromethyl)benzoate	H ₂ N CF ₃ H CF ₃ H CF ₃ CF ₃ CF ₃ CH ₃ H CF ₃ CF ₃ CH ₃
190	3-({N-[2-amino-5-cyano-6- (methyloxy)pyrimidin-4-yl]-L- alanyl}amino)-N-[2-(dimethylamino)ethyl]- 5-(trifluoromethyl)benzamide	O.CH ₃ N CF ₃ H ₂ N CF ₃ O NH H ₃ C N.CH ₃
191	N~2~-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-3-morpholin-4- yl-N-[3-(trifluoromethyl)phenyl]-L- alaninamide	H ₃ C·S Chiral
192	N~2~-[2-amino-5-cyano-6- (methyloxy)pyrimidin-4-yl]-3-morpholin-4- yl-N-[3-(trifluoromethyl)phenyl]-L- alaninamide	H ₃ C. Chiral

Table 3

Entry	Name	Structure
193	N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-3-morpholin-4-yl- N-[3-(trifluoromethyl)phenyl]-L- alaninamide	CH ₃ Chiral
194	N~5~-(aminocarbonyl)-N~2~-[2-amino-5- cyano-6-(ethyloxy)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-L-ornithinamide	ONH ₂ Chiral ONH ONH H ₂ N N H F F F
195	N~2~-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-D-lysinamide	SMe N H F F F F F F F F F F F F F F F F F F
196	N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-N-[3- (trifluoromethyl)phenyl]-D-lysinamide	OEt N H F F H N N N N N N N N N N N N N N N
197	N~2~-[2-amino-5-cyano-6- (ethyloxy)pyrimidin-4-yl]-O-methyl-N-[3- (trifluoromethyl)phenyl]-L-serinamide	CH ₃ Chiral CH ₃ CH

Table 3

Entry	Name	Structure
198	N~2~-[2-amino-5-cyano-6- (methylthio)pyrimidin-4-yl]-N~5~- (methylsulfonyl)-N-[3- (trifluoromethyl)phenyl]-L-ornithinamide	CH ₃ Chiral O=S=O NH N N N N CF ₃ CF ₃

- 35. A pharmaceutical composition comprising the compound according to any one of claims 1 34 and a pharmaceutically acceptable carrier.
- 36. A metabolite of the compound or the pharmaceutical composition according to any one of claims 1 35.
- 37. A method of modulating the *in vivo* activity of a kinase, the method comprising administering to a subject an effective amount of a composition comprising at least one of: the compound according to any of claims 1- 34, the pharmaceutical composition according to claim 35, a compound explicitly provided against in claim 1 or 21, and a pharmaceutical composition comprising a compound, the composition of which was, explicitly provided against in claim 1 or 21 and a pharmaceutically acceptable carrier.
- 38. The method according to claim 37, wherein the kinase is p70S6K.
- 39. The method according to claim 38, wherein modulating the *in vivo* activity of p70S6K comprises inhibition of p70S6K.
- 40. A method of treating diseases or disorders associated with uncontrolled, abnormal, and/or unwanted cellular activities, the method comprising administering, to a mammal in need thereof, a therapeutically effective amount of a composition comprising at least one of: the compound according to any of claims 1 -34, the pharmaceutical composition according to claim 35, a compound, the composition of which was, explicitly provided against in claim 1

or 21, and a pharmaceutical composition comprising a compound, the composition of which was, explicitly provided against in claim 1 or 21 and a pharmaceutically acceptable carrier.

- 41. A method of screening for modulator of a p70S6 kinase, the method comprising combining either a compound according to any one of claims 1 34 or a compound, the composition of which was, explicitly provided against in claim 1 or 21, and at least one candidate agent and determining the effect of the candidate agent on the activity of said kinase.
- 42. A method of inhibiting proliferative activity in a cell, the method comprising administering an effective amount of: the compound according to any of claims 1 34, the pharmaceutical composition according to claim 35, a compound, the composition of which was, explicitly provided against in claim 1 or 21, and a pharmaceutical composition comprising a compound, the composition of which was, explicitly provided against in claim 1 or 21 and a pharmaceutically acceptable carrier.
- 43. A method of inhibiting abnormal metabolic activity in a cell, the method comprising administering an effective amount of: the compound according to any of claims 1 34, the pharmaceutical composition according to claim 35, a compound, the composition of which was, explicitly provided against in claim 1 or 21, and a pharmaceutical composition comprising a compound, the composition of which was, explicitly provided against in claim 1 or 21 and a pharmaceutically acceptable carrier.